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STRUCTURE FILE UPDATES: 8 MAR 2006 HIGHEST RN 876273-86-8
DICTIONARY FILE UPDATES: 8 MAR 2006 HIGHEST RN 876273-86-8

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*

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COST IN U.S. DOLLARS

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 FILE LAST UPDATED: 8 Mar 2006 (20060308/ED)

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<http://www.cas.org/infopolicy.html>

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=> s disodium salt and delivery agent
      36340 DISODIUM
          1 DISODIUMS
      36341 DISODIUM
          (DISODIUM OR DISODIUMS)
      759463 SALT
      588744 SALTS
      1130624 SALT
          (SALT OR SALTS)
      11996 DISODIUM SALT
          (DISODIUM(W) SALT)
      220638 DELIVERY
      1584 DELIVERIES
      221604 DELIVERY
          (DELIVERY OR DELIVERIES)
      768293 AGENT
      1115063 AGENTS
      1570262 AGENT
          (AGENT OR AGENTS)
      533 DELIVERY AGENT
          (DELIVERY(W) AGENT)
L1      5 DISODIUM SALT AND DELIVERY AGENT
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=> d 1-5 abs bib hitstr

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L1  ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AB  The present invention relates to a composition comprising a delivery agent, parathyroid hormone, and calcitonin. This composition exhibits increased delivery of parathyroid hormone and/or calcitonin and is useful for the treatment of osteoporosis. The composition also permits simultaneous oral delivery of parathyroid hormone and calcitonin. The composition of the present invention may be formulated into a dosage unit form, such as an oral dosage unit form. The invention also provides a method for administering parathyroid hormone and calcitonin to an animal in need thereof by administering the composition of the present invention. Thus N-(5-chlorosalicyloyl)-8-aminocaprylic acid (5-CNAC) was synthesized in three steps starting from 5-chlorosalicylamide. The monosodium, and disodium salts of 5-CNAC were formed along with the ethanol solvate of disodium 5-CNAC. Capsules were filled, each contained (mg): 5-CNAC disodium salt ethanol solvate 226.28; parathyroid hormone 0.461; salmon calcitonin 0.411.
AN  2005:220110 CAPLUS
```

DN 142:285221
 TI Compositions for delivering parathyroid hormone and calcitonin containing N-(5-chlorosalicyloyl)-8-aminocaprylic acid for the treatment of osteoporosis
 IN Goldberg, Michael M.
 PA USA
 SO U.S. Pat. Appl. Publ., 10 pp., Cont. of U.S. Ser. No. 435,514, abandoned.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2005054557	A1	20050310	US 2004-787857	20040225
PRAI US 2002-379501P	P	20020509		
US 2003-435514	B1	20030509		
OS MARPAT 142:285221				

L1 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
 AB The present invention provides a composition (e.g., a pharmaceutical composition) comprising at least one delivery agent compound and at least one of peptide YY (PYY) and a PYY agonist. Preferably, the composition includes a therapeutically effective amount of peptide YY or the PYY agonist and the delivery agent compound. The composition of the present invention facilitates the delivery of PYY, a PYY agonist, or a mixture thereof and increases its bioavailability compared to administration without the delivery agent compound. PYY and PYY agonists possess activity as agents to reduce nutrient availability, including reduction of food intake. An liquid oral delivery agent in rats for peptide YY residues 3-36 was monosodium N-[8-(2-hydroxybenzoyl)amino]caprylate.

AN 2004:1037115 CAPLUS
 DN 142:28169
 TI Compositions for delivering peptide YY and PYY agonists
 IN Dinh, Steve; Wang, Huaizhen; Gomez-Orellana, M. Isabel
 PA Emisphere Technologies, Inc., USA
 SO PCT Int. Appl., 51 pp.
 CODEN: PIXXD2

DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004104018	A2	20041202	WO 2004-US15162	20040514
WO 2004104018	A3	20050506		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2525168	AA	20041202	CA 2004-2525168	20040514
US 2005009748	A1	20050113	US 2004-846954	20040514

EP 1624882	A2	20060215	EP 2004-752236	20040514
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
PRAI US 2003-470905P	P	20030514		
US 2003-471114P	P	20030515		
US 2003-506702P	P	20030925		
US 2004-536697P	P	20040114		
WO 2004-US15162	W	20040514		
OS MARPAT 142:28169				

L1 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

AB The present invention relates to amorphous and five polymorphic forms of sodium 4-[(4-chloro-2-hydroxybenzoyl)amino]butanoate (Na 4-CNAB) and their use for facilitating the delivery of biol. active agents, such as a protein, peptide, hormone, polysaccharide, mucopolysaccharide, small polar organic mol., carbohydrate, or lipid, to a target. For example, 4-CNAB free acid was prepared by reaction of 4-chlorosalicylic acid with Et 4-aminobutyrate-HCl and used for oral delivery of zinc human recombinant insulin in monkeys. A dose of 1 mL/kg containing 0.5 mg/kg insulin and 200 mg/kg 4-CNAB in water, when administrated orally provided insulin maximum (peak) and the area under the curve (AUC) of 1457 ± 268 µU/mL and 58935, resp.

AN 2003:551478 CAPLUS

DN 139:122740

TI Polymorphs of sodium 4-[(4-chloro-2-hydroxybenzoyl)amino]butanoate

IN Bhandarkar, Satej; Majuru, Shingai; Leuchyk, Halina

PA Emisphere Technologies, Inc., USA

SO PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003057650	A2	20030717	WO 2003-US878	20030109
	WO 2003057650	A3	20031016		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2471144	AA	20030717	CA 2003-2471144	20030109
	EP 1469827	A2	20041027	EP 2003-719286	20030109
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005520803	T2	20050714	JP 2003-557970	20030109
	US 2005272639	A1	20051208	US 2005-501205	20050104
	US 2005250852	A1	20051110	US 2005-183039	20050714
PRAI	US 2002-347610P	P	20020109		
	US 2000-214893P	P	20000629		
	WO 2001-US21073	W	20010629		
	WO 2003-US878	W	20030109		
	US 2003-312703	A2	20030625		
	US 2005-501205	A1	20050104		

L1 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
 AB Solid pharmaceutical compns. suitable for the oral delivery of pharmacol. active agents, e.g. peptides, comprising a therapeutically-effective amount of a pharmacol. active agent; a crospovidone or povidone; and a delivery agent for the pharmacol. active agent are disclosed. The compns. provide excellent oral bioavailability of pharmacol. active agents, particularly calcitonin. Salmon calcitonin, 5-CNAC disodium salt, and Crospovidone were combined, then Avicel PH102 and Mg stearate were added. The final blend was compressed to give tablets.

AN 2002:449533 CAPLUS

DN 137:11016

TI Pharmaceutical compositions for the oral delivery of pharmacologically active agents

IN Ault, Joseph M.; Azria, Moise; Bateman, Simon David; Sikora, Joseph; Sparta, Gregory; Yang, Rebecca Fai-Ying; Xiao, Jie

PA Novartis Ag, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft M.B.H.

SO PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002045754	A2	20020613	WO 2001-EP14294	20011205
	WO 2002045754	A3	20030103		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	US 2002123459	A1	20020905	US 2001-6311	20011204
	CA 2436599	AA	20020613	CA 2001-2436599	20011205
	AU 2002034547	A5	20020618	AU 2002-34547	20011205
	EP 1341526	A2	20030910	EP 2001-985368	20011205
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001015965	A	20031028	BR 2001-15965	20011205
	JP 2004515480	T2	20040527	JP 2002-547536	20011205
	NZ 526196	A	20050128	NZ 2001-526196	20011205
	ZA 2003004295	A	20040510	ZA 2003-4295	20030602
	NO 2003002511	A	20030603	NO 2003-2511	20030603
PRAI	US 2000-251729P	P	20001206		
	WO 2001-EP14294	W	20011205		

L1 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

AB The disodium salts as well as their hydrates and ethanol solvates of certain delivery agents have surprisingly greater efficacy for delivering active agents than the corresponding monosodium salt. The delivery agents are salicylamide derivs. and the hydrates and solvates also have surprisingly greater efficacy for delivering active agents, such as heparin and calcitonin, than their corresponding monosodium salts and free acids. Preferred delivery agents include, but are not limited to, N-(5-chlorosalicyloyl)-8-aminocaprylic acid (5-CNAC),

N-(10-[2-hydroxybenzoyl]amino)decanoic acid (SNAD), and sodium N-(8-[2-hydroxybenzoyl]amino)caprylate (SNAC) which were synthesized.

AN 2000:725594 CAPLUS

DN 133:301181

TI Disodium salts, monohydrates, and ethanol solvates of salicylamide derivatives for drug delivery

IN Bay, William E.; Agarwal, Rajesh K.; Chaudhary, Kiran; Majuru, Shingai; Goldberg, Michael M.; Russo, Joanne P.

PA Emisphere Technologies, Inc., USA

SO PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000059863	A1	20001012	WO 2000-US9390	20000405
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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	CA 2369591	AA	20001012	CA 2000-2369591	20000405
	CA 2487952	AA	20001012	CA 2000-2487952	20000405
	EP 1175390	A1	20020130	EP 2000-921909	20000405
	EP 1175390	B1	20050202		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002541132	T2	20021203	JP 2000-609376	20000405
	AT 288415	E	20050215	AT 2000-921909	20000405
	EP 1535625	A1	20050601	EP 2005-1956	20000405
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	ES 2235854	T3	20050716	ES 2000-921909	20000405
	US 2002065255	A1	20020530	US 2001-962794	20010924
	HK 1045680	A1	20050812	HK 2002-105618	20020730
	US 2004106825	A1	20040603	US 2003-615213	20030707
	AU 2004201690	A1	20040520	AU 2004-201690	20040422
	JP 2005068161	A2	20050317	JP 2004-325632	20041109
PRAI	US 1999-127754P	P	19990405		
	US 2000-186142P	P	20000301		
	US 2000-186143P	P	20000301		
	US 2000-191286P	P	20000321		
	AU 2000-42167	A3	20000405		
	CA 2000-2369591	A3	20000405		
	EP 2000-921909	A3	20000405		
	JP 2000-609376	A3	20000405		
	WO 2000-US9390	W	20000405		
	US 2001-962794	B1	20010924		

OS MARPAT 133:301181

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

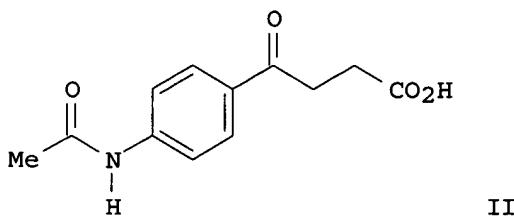
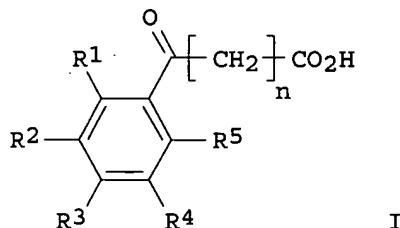
=> s delivery agent and heparin and sodium salt
220638 DELIVERY

1584 DELIVERIES
 221604 DELIVERY
 (DELIVERY OR DELIVERIES)
 768293 AGENT
 1115063 AGENTS
 1570262 AGENT
 (AGENT OR AGENTS)
 533 DELIVERY AGENT
 (DELIVERY (W) AGENT)
 46776 HEPARIN
 1797 HEPARINS
 46891 HEPARIN
 (HEPARIN OR HEPARINS)
 1022471 SODIUM
 34 SODIUMS
 1022480 SODIUM
 (SODIUM OR SODIUMS)
 759463 SALT
 588744 SALTS
 1130624 SALT
 (SALT OR SALTS)
 78382 SODIUM SALT
 (SODIUM(W) SALT)

L2 1 DELIVERY AGENT AND HEPARIN AND SODIUM SALT

=> d abs bib hitstr

L2 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
GI



AB The invention relates to aryl ketone compds. of formula I, which facilitate the delivery of active agents to a target site. In compds. I,

n is an integer from 1 to 9; and R1 to R5 are independently selected from H, OH, halo, acetylamino, C1-6 alkyl, C1-6 alkoxy, C2-6 alkenyl, and phenoxy; including salts thereof. The invention also relates to the preparation of I, pharmaceutical compns. containing I and at least one active agent, biol. or chemical, as well as to the use of the compns. in the treatment of conditions responding to therapy with these agents, e.g., insulin, heparin, parathyroid hormone, and peptide YY. Friedel-Crafts acylation of acetanilide with succinic anhydride gave aryl ketone II. The compds. of the invention aided in the oral delivery of heparin, parathyroid hormone, insulin, and peptide YY.

AN 2005:1314052 CAPLUS

DN 144:51328

TI Aryl ketone compounds for delivering active agents, their preparation, pharmaceutical compositions, and use in therapy

IN Rath, Parshuram; Gomez-Orellana, M. Isabel; Vuocolo, Edmund A.

PA Emisphere Technologies, Inc., USA

SO PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005117854	A2	20051215	WO 2005-US17339	20050516
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2004-571090P	P	20040514		
	US 2004-571092P	P	20040514		
OS	MARPAT	144:51328			

```
=> s delivery agent and heparin
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    1584 DELIVERIES
    221604 DELIVERY
        (DELIVERY OR DELIVERIES)
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    768293 AGENT
    1115063 AGENTS
    1570262 AGENT
        (AGENT OR AGENTS)
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    533 DELIVERY AGENT
        (DELIVERY(W) AGENT)
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    4 HEPARING
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L3      0 DELIVERY AGENT AND HEPARING
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=> s delivery agent and heparin
    220638 DELIVERY
    1584 DELIVERIES
    221604 DELIVERY
```

(DELIVERY OR DELIVERIES)

768293 AGENT

1115063 AGENTS

1570262 AGENT

(AGENT OR AGENTS)

533 DELIVERY AGENT

(DELIVERY (W) AGENT)

46776 HEPARIN

1797 HEPARINS

46891 HEPARIN

(HEPARIN OR HEPARINS)

L4 36 DELIVERY AGENT AND HEPARIN

=> s 14 decanoic acid

MISSING OPERATOR L4 DECANOIC

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> s 14 and ?hydroxybenzoyl?

3435 ?HYDROXYBENZOYL?

L5 7 L4 AND ?HYDROXYBENZOYL?

=> d abs bib hitstr 1-7

L5 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AB A review. Heparin is a heterogeneous mixture of unbranched, acidic, glycosaminoglycans (GAG), which consist of alternating glucosamine and hexuronic acids modified by sulphation and acetylation. It is a highly sulfated linear polysaccharide with overall neg. charges. Glycosaminoglycan (GAG) heparin, was discovered in 1916 and was prepared and used as a clin. anticoagulant since 1939. Heparin is prepared from animal tissues that are rich in mast cells, such as porcine mucosa and bovine lung. In clin. practice, conventional heparin is unfractionated, which means that it consists of mols. of differing lengths, usually between 10 and 50 saccharides. The mol. weight of heparin ranges from 3,000 to 30,000 Daltons with a mean of 15,000 Daltons. Heparin is administered parenterally to hospitalized patients to prevent 2 common post-surgical complications, namely deep venous thrombosis (DVT) and pulmonary embolism. The diagnosis of acute DVT is suggested in approx. 250,000 people per yr in the United States alone. Currently, heparin is limited to parenteral administration, requiring hospitalization. The development of an oral dosage form of heparin would increase patient convenience and also potentially allow the use of heparin for a variety of other clin. indications. Numerous approaches were employed in an attempt to develop an oral heparin formulation. These approaches include formulations using enteric-coated heparin-amine combinations, heparin complexes or salts prepared with organic acids, heparin derivs. produced by partial desulphation and methylation, mixed micelles, oil/water emulsions, and absorption enhancers, such as EDTA. Development of oral dosage forms of heparin based on hydrophobic organic bases, spermine and lysine salts, liposomes, hydrogel nanospheres, or bile salts were also attempted without any success. As such, the need for the development of an oral dosage form for heparin still remains. Recently, an approach based on the use of Emisphere Technologies, Inc.'s proprietary drug delivery agents, such as sodium N-[8-(2-hydroxybenzoyl)amino]caprylate (SNAC), that promote the oral absorption of heparin has shown promising results.

AN 2005:17144 CAPLUS

DN 142:435461
 TI Advances in the oral delivery of heparin from solid dosage forms using emisphere's eligen oral drug delivery technology
 AU Majuru, Shingai
 CS Pharmaceutics Research and Development, Emisphere Technologies, Inc., Tarrytown, NY, USA
 SO Drug Delivery Technology (2004), 4(8), 84,86-89
 CODEN: DDTRAW; ISSN: 1537-2898
 PB Drug Delivery Technology LLC
 DT Journal; General Review
 LA English

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
 AB Unfractionated heparin (UFH) is safe and effective for thromboprophylaxis, but its use is limited to parenteral administration. A novel drug delivery agent (SNAC) has been developed to accomplish the oral delivery of heparin. This report describes the foundation for dose selection and use of oral heparin/SNAC in patients undergoing elective total hip arthroplasty (THA). To develop a treatment regimen for clin. study, a multiple dose Phase I pharmacokinetic (PK) study in healthy volunteers compared oral heparin/SNAC (90 000 U heparin) with s.c. UFH (5000 U). On this basis, we carried out a double-blind, randomized, multicenter study comparing s.c. UFH (5000 U) with oral heparin/SNAC at either 60 000 or 90 000 U heparin in 123 patients undergoing elective THA. Patients received, postoperatively, one of the three treatments every 8 h for a total of 12 doses and were followed for 35 days post surgery. In the Phase I study, anti-factor Xa activity peaked at 45-60 min following oral heparin/SNAC, returning to baseline at 4 h. Results of the randomized trial in THA patients showed that venous thromboembolic events (n = 6), major bleeding events (n = 5) and need for transfusion (n = 23) were distributed evenly among the three treatment groups, UFH and both doses of oral heparin/SNAC. This is the first demonstration that oral heparin/SNAC can be safely delivered to the postoperative THA patient, and provides the basis for a larger clin. trial to assess the prophylactic efficacy of heparin/SNAC.

AN 2004:415742 CAPLUS
 DN 141:64712
 TI Oral heparin administration with a novel drug delivery agent (SNAC) in healthy volunteers and patients undergoing elective total hip arthroplasty
 AU Berkowitz, S. D.; Marder, V. J.; Kosutic, G.; Baughman, R. A.
 CS Duke Clinical Research Institute, Duke University Medical Center, Durham, NC, USA
 SO Journal of Thrombosis and Haemostasis (2003), 1(9), 1914-1919
 CODEN: JTTHA5; ISSN: 1538-7933
 PB Blackwell Publishing Ltd.
 DT Journal
 LA English

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
 AB Compds. and compns. for the delivery of biol. active agents, i.e., a protein, polypeptide, peptide, hormone, polysaccharide, mucopolysaccharide, carbohydrate, or lipid, are provided. These compds.

are well suited for forming non-covalent mixts. with active agents for oral, pulmonary, and other routes of administration. Methods for the preparation and administration of such compns. are provided as well. For example, a delivery agent, 9-(4-hydroxybenzoylamino)nonanoic acid (I), was prepared from 1.17 equivalent of 8-aminononanoic acid and 1.00 equivalent of 4-hydroxybenzoyl chloride and used for oral/intracolonic delivery of human parathyroid hormone residues 1-34 (PTH) by mixing I with a PTH stock solution (typically having a concentration of 5 mg PTH/mL) and diluting to the desired volume (usually 3.0 mL).

AN 2002:964132 CAPLUS
 DN 138:29141
 TI Compound and composition for delivering biologically active agents, such as parathyroid hormone
 IN Leone-Bay, Andrea
 PA Emisphere Technologies, Inc., USA
 SO PCT Int. Appl., 26 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100338	A2	20021219	WO 2002-US18236	20020607
	WO 2002100338	A3	20040212		
		W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW		
		RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	PRAI US 2001-297117P	P	20010608		

LS ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
 AB Background-in animal models, heparin delivered as a continuous i.v. infusion or via frequent (BID) s.c. dosing inhibits neointimal hyperplasia after balloon injury or stent implantation. However, human trials of s.c. heparin after percutaneous intervention have proven ineffective against restenosis. It may be that these failures are due to unfavorable heparin pharmacokinetics. Recently, the drug delivery agent sodium N-[8-(2-hydroxybenzoyl)amino] caprylate (SNAC) has been found to facilitate the gastric absorption of heparin. Methods and Results-To investigate the effects of orally delivered heparin on neointimal hyperplasia after varying forms of arterial injury, 57 New Zealand White rabbits underwent iliac artery balloon dilatation. In half of the rabbits, endovascular stents were implanted and heparin was delivered through a variety of methods. Arteries were harvested at 14 days. Neointimal area was assessed with computer-aided morphometry. After balloon injury, both i.v. (0.3 mg/kg per h) and oral heparin (90 mg/kg BID) effectively inhibited neointimal hyperplasia (0.11 ± 0.02 and 0.09 ± 0.07 Mm², resp., vs. 0.16 ± 0.06 Mm² in control; $P < 0.05$). After stent implantation, i.v. administration of heparin (0.3 mg/kg per h) effectively inhibited neointimal growth (0.35 ± 0.05 Mm² vs.

0.51 ± 0.09 Mm² in control; $P < 0.05$), but oral heparin at 90 mg/kg BID and 180 mg/kg BID (0.48 ± 0.04 and 0.49 ± 0.08 Mm², resp.; $P =$ NS vs. control) did not. A dose of 120 mg/kg TID, however, was effective (0.40 ± 0.10 Mm²; $P < 0.05$ vs. control). Conclusions-These data suggest that oral heparin may be an effective therapy against restenosis after percutaneous intervention. Stented arteries required and more frequent dosing for efficacy. These data suggest that differences in the type of vascular injury must be considered in the design of drug delivery.

AN 2002:92243 CAPLUS

DN 137:149982

TI Oral heparin prevents neointimal hyperplasia after arterial injury. Inhibitory potential depends on type of vascular injury

AU Welt, Frederick G. P.; Cooper Woods, T.; Edelman, Elazer R.

CS Dep. Medicine, Women's Hospital, Harvard Medical Sch., Boston, MA, USA

SO Circulation (2001), 104(25), 3121-3124

CODEN: CIRCAZ; ISSN: 0009-7322

PB Lippincott Williams & Wilkins

DT Journal

LA English

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AB The disodium salts as well as their hydrates and ethanol solvates of certain delivery agents have surprisingly greater efficacy for delivering active agents than the corresponding monosodium salt. The delivery agents are salicylamide derivs. and the hydrates and solvates also have surprisingly greater efficacy for delivering active agents, such as heparin and calcitonin, than their corresponding monosodium salts and free acids. Preferred delivery agents include, but are not limited to, N-(5-chlorosalicyloyl)-8-aminocaprylic acid (5-CNAC), N-(10-[2-hydroxybenzoyl]amino)decanoic acid (SNAD), and sodium N-(8-[2-hydroxybenzoyl]amino)caprylate (SNAC) which were synthesized.

AN 2000:725594 CAPLUS

DN 133:301181

TI Disodium salts, monohydrates, and ethanol solvates of salicylamide derivatives for drug delivery

IN Bay, William E.; Agarwal, Rajesh K.; Chaudhary, Kiran; Majuru, Shingai; Goldberg, Michael M.; Russo, Joanne P.

PA Emisphere Technologies, Inc., USA

SO PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000059863	A1	20001012	WO 2000-US9390	20000405
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2369591	AA	20001012	CA 2000-2369591	20000405
	CA 2487952	AA	20001012	CA 2000-2487952	20000405

EP 1175390	A1	20020130	EP 2000-921909	20000405
EP 1175390	B1	20050202		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002541132	T2	20021203	JP 2000-609376	20000405
AT 288415	E	20050215	AT 2000-921909	20000405
EP 1535625	A1	20050601	EP 2005-1956	20000405
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
ES 2235854	T3	20050716	ES 2000-921909	20000405
US 2002065255	A1	20020530	US 2001-962794	20010924
HK 1045680	A1	20050812	HK 2002-105618	20020730
US 2004106825	A1	20040603	US 2003-615213	20030707
AU 2004201690	A1	20040520	AU 2004-201690	20040422
JP 2005068161	A2	20050317	JP 2004-325632	20041109
PRAI US 1999-127754P	P	19990405		
US 2000-186142P	P	20000301		
US 2000-186143P	P	20000301		
US 2000-191286P	P	20000321		
AU 2000-42167	A3	20000405		
CA 2000-2369591	A3	20000405		
EP 2000-921909	A3	20000405		
JP 2000-609376	A3	20000405		
WO 2000-US9390	W	20000405		
US 2001-962794	B1	20010924		

OS MARPAT 133:301181

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
 AB Heparin is the anticoagulant of choice for hospitalized patients, but it is dosed only by injection because it is not absorbed following oral administration. We have discovered and prepared compds. (delivery agents) that facilitate the gastrointestinal absorption of heparin in rats, monkeys, and humans when given orally. We are currently developing a parallel synthesis approach to increase our delivery agent screening throughput in vivo. This approach has been used to produce micromolar quantities of compds. for testing in rats in a 5+5 parallel synthesis array. Using an amine benzoylation reaction sequence, 10 mixts. were prepared. These mixts. contained equal weight quantities of five N-substituted, non- α , amino acid delivery agents. Each of these mixts. was orally administered to rats in combination with heparin, and plasma clotting times (APTT) were measured to determine activity. Deconvolution of the data accurately identified the most active individual components. Independent synthesis of these compds. verified their activity. This parallel synthesis approach is an effective tool for the screening of oral heparin delivery agents and has increased screening throughput significantly.

AN 2000:597956 CAPLUS

DN 133:317397

TI Studies directed at the use of a parallel synthesis matrix to increase throughput in an in vivo assay

AU Leone-Bay, Andrea; Freeman, John; O'Toole, Doris; Rosado-Gray, Connie; Salo-Kostmayer, Sirpa; Tai, Monica; Mercogliano, Frank; Baughman, Robert A.

CS Emisphere Technologies Inc., Tarrytown, NY, 10591, USA

SO Journal of Medicinal Chemistry (2000), 43(19), 3573-3576
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AB Na N-(2-hydroxybenzoyl)aminocaprylate was prepared as an oral peptide-like drug delivery agent that promotes the GI absorption of macromol. drugs like interferon, recombinant human growth hormone and heparin.

AN 1999:211206 CAPLUS

DN 131:35760

TI Oral delivery of macromolecular drugs

AU Leone-Bay, Andrea

CS Emisphere Technologies, Inc., Tarrytown, NY, 10591, USA

SO Polymer Preprints (American Chemical Society, Division of Polymer Chemistry) (1999), 40(1), 314-315
CODEN: ACPPAY; ISSN: 0032-3934

PB American Chemical Society, Division of Polymer Chemistry

DT Journal

LA English

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE

COST IN U.S. DOLLARS

SINCE FILE
ENTRY

FULL ESTIMATED COST 70.50 71.15

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE
ENTRY

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SINCE FILE
ENTRY

FULL ESTIMATED COST 0.48 71.63

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NEWS 7 DEC 21 IPC search and display fields enhanced in CA/CAplus with the
IPC reform
NEWS 8 DEC 23 New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/
USPAT2
NEWS 9 JAN 13 IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 10 JAN 13 New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to
INPADOC
NEWS 11 JAN 17 Pre-1988 INPI data added to MARPAT
NEWS 12 JAN 17 IPC 8 in the WPI family of databases including WPIFV
NEWS 13 JAN 30 Saved answer limit increased
NEWS 14 JAN 31 Monthly current-awareness alert (SDI) frequency
added to TULSA
NEWS 15 FEB 21 STN AnaVist, Version 1.1, lets you share your STN AnaVist
visualization results
NEWS 16 FEB 22 Status of current WO (PCT) information on STN
NEWS 17 FEB 22 The IPC thesaurus added to additional patent databases on STN
NEWS 18 FEB 22 Updates in EPFULL; IPC 8 enhancements added
NEWS 19 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 20 FEB 28 MEDLINE/LMEDLINE reload improves functionality
NEWS 21 FEB 28 TOXCENTER reloaded with enhancements
NEWS 22 FEB 28 REGISTRY/ZREGISTRY enhanced with more experimental spectral
property data
NEWS 23 MAR 01 INSPEC reloaded and enhanced
NEWS 24 MAR 03 Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 25 MAR 08 X.25 communication option no longer available after June 2006

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
<http://download.cas.org/express/v8.0-Discover/>

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FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
0.21	0.21

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=> s formation of a disodium salt
2633597 FORMATION
53225 FORMATIONS
2663593 FORMATION
(FORMATION OR FORMATIONS)
19569518 A
36340 DISODIUM
1 DISODIUMS
36341 DISODIUM
(DISODIUM OR DISODIUMS)
759463 SALT
588744 SALTS
1130624 SALT
(SALT OR SALTS)
L1 0 FORMATION OF A DISODIUM SALT
(FORMATION(1W)A(W)DISODIUM(W)SALT)

=> s process of making disodium salt
2210994 PROCESS
1490740 PROCESSES

3297039 PROCESS
 (PROCESS OR PROCESSES)
 271196 MAKING
 31 MAKINGS
 271221 MAKING
 (MAKING OR MAKINGS)
 36340 DISODIUM
 1 DISODIUMS
 36341 DISODIUM
 (DISODIUM OR DISODIUMS)

759463 SALT
 588744 SALTS
 1130624 SALT
 (SALT OR SALTS)

L2 0 PROCESS OF MAKING DISODIUM SALT
 (PROCESS (1W) MAKING (W) DISODIUM (W) SALT)

=> s process disodium salt
 2210994 PROCESS
 1490740 PROCESSES
 3297039 PROCESS
 (PROCESS OR PROCESSES)
 36340 DISODIUM
 1 DISODIUMS
 36341 DISODIUM
 (DISODIUM OR DISODIUMS)

759463 SALT
 588744 SALTS
 1130624 SALT
 (SALT OR SALTS)

L3 0 PROCESS DISODIUM SALT
 (PROCESS (W) DISODIUM (W) SALT)

=> s monosodium and disodium salt
 8989 MONOSODIUM
 1 MONOSODIUMS
 8990 MONOSODIUM
 (MONOSODIUM OR MONOSODIUMS)
 36340 DISODIUM
 1 DISODIUMS
 36341 DISODIUM
 (DISODIUM OR DISODIUMS)

759463 SALT
 588744 SALTS
 1130624 SALT
 (SALT OR SALTS)

11996 DISODIUM SALT
 (DISODIUM (W) SALT)

L4 455 MONOSODIUM AND DISODIUM SALT

=> d abs bib hitstr 150-455

L4 ANSWER 150 OF 455 CAPLUS COPYRIGHT 2006 ACS on STN

AB This paper reports the results on exptl. and theor. study of the new phenomenon called ion-exchange-isothermal-supersatn. (IXISS). This effect is observed for a number of ion-exchange systems where frontal or reverse frontal separation is accompanied by the formation of extremely stable supersatd. solns. of low solubility substances in the interbed space of ion-exchange columns. After leaving the column a supersatd. solution

NEWS 21 FEB 28 TOXCENTER reloaded with enhancements
NEWS 22 FEB 28 REGISTRY/ZREGISTRY enhanced with more experimental spectral property data
NEWS 23 MAR 01 INSPEC reloaded and enhanced
NEWS 24 MAR 03 Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 25 MAR 08 X.25 communication option no longer available after June 2006

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AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
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<http://download.cas.org/express/v8.0-Discover/>

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	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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=> s sodium salts and pharmaceuticals

1022471 SODIUM
34 SODIUMS
1022480 SODIUM
(SODIUM OR SODIUMS)

588744 SALTS
23503 SODIUM SALTS
(SODIUM(W) SALTS)

87269 PHARMACEUTICALS

L1 101 SODIUM SALTS AND PHARMACEUTICALS

=> s l1 and soluble

87312 SOLUBLE
2233 SOLUBLES
89425 SOLUBLE
(SOLUBLE OR SOLUBLES)

611173 SOL
16557 SOLS
617824 SOL
(SOL OR SOLS)

642529 SOLUBLE
(SOLUBLE OR SOL)

L2 16 L1 AND SOLUBLE

=> d abs bib hitstr 1-5

L2 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

AB Disclosed is an accelerator for mineral absorption and a composition for mineral absorption acceleration which contains the accelerator. The accelerator for mineral absorption comprises a cyclic tetrasaccharide and/or a glucide derivative thereof as an active ingredient. An mineral absorption accelerator cyclo[- α -D-glucopyranosyl-(1 \rightarrow 3)- α -D-glucopyranosyl-(1 \rightarrow 6)- α -D-glucopyranosyl-(1 \rightarrow 3)- α -D-glucopyranosyl-(1 \rightarrow 6)]pentahydrate was obtained from corn starch for use in pharmaceuticals, foods, and/or feeds.

AN 2005:76275 CAPLUS

DN 142:162642

TI Accelerator for mineral absorption and use thereof

IN Oku, Kazuyuki; Kubota, Michio; Fukuda, Shigeharu; Miyake, Toshio

PA Kabushiki Kaisha Hayashibara Seibutsu Kagaku Kenkyujo, Japan

SO PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

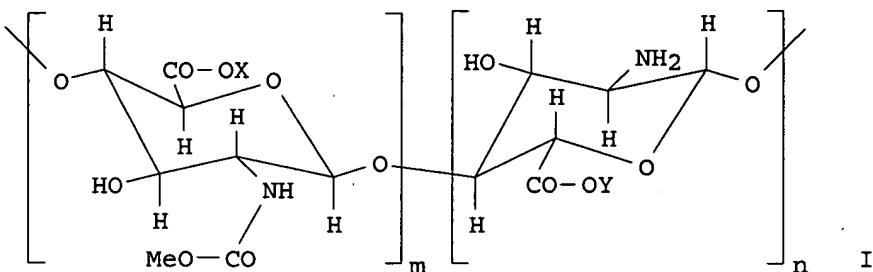
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	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,			

EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

PRAI JP 2003-276602 A 20030718

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
 GI



AB Polyuronic acids I (X, Y = H, alkali metal) have Mw \geq 30,000. I are useful for coatings, foods, pharmaceuticals, cosmetics, etc. Thus, chitin was treated with NaOH and oxidized by NaClO using TEMPO as a catalyst to give polyuronic acid Na salt having β -(1,4)-N-acetyl-D-glucosaminuronic acid structure and Mw 62,000 and showing good water solubility and biodegradability.

AN 2004:549829 CAPLUS

DN 141:90790

TI Water-soluble polyuronic acids with high molecular weight and uniform structures

IN Kaminaga, Junichi; Kato, Yumiko; Matsuo, Ryukichi

PA Toppan Printing Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

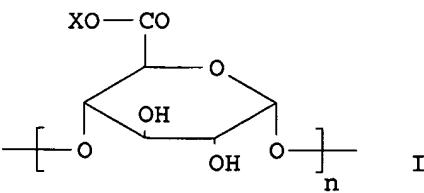
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2004189923	A2	20040708	JP 2002-360523	20021212
PRAI	JP 2002-360523		20021212		

L2 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
 GI



AB Polyuronic acids I ($X = H$, alkali metal) have $Mw \geq 30,000$. They are useful for coatings, foods, pharmaceuticals, cosmetics, etc. Thus, corn amylose was oxidized by NaClO in the presence of TEMPO and NaBr to give polyuronic acid Na salt having α -(1,4)-polyglucuronic acid structure, Mw 42,000, and good O-barrier property.

AN 2004:547919 CAPLUS

DN 141:90789

TI Water-soluble polyuronic acids with high molecular weight and uniform structures

IN Kaminaga, Junichi; Kato, Yumiko; Matsuo, Ryukichi

PA Toppan Printing Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DT Patent

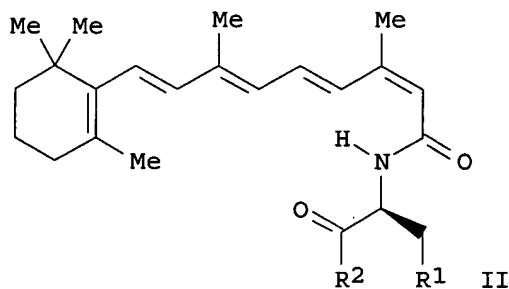
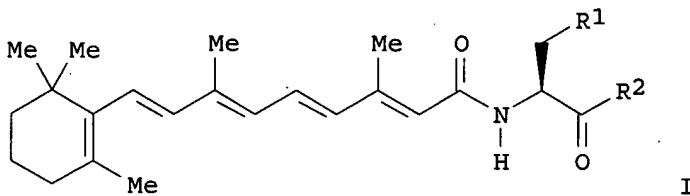
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2004189924	A2	20040708	JP 2002-360524	20021212
PRAI	JP 2002-360524		20021212		

L2 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

GI



AB A group of new compds., N-(all-trans-Retinoyl)-L-cysteic acid, N-(13-cis-Retinoyl)-L-cysteic acid, N-(all-trans-Retinoyl)-L-cysteinesulfinic acid, N-(13-cis-Retinoyl)-L-cysteinesulfinic acid, N-(all-trans-Retinoyl)-L-homocysteic acid, N-(13-cis-Retinoyl)-L-homocysteic acid, and sodium salts of these compds., including sodium salts of their esters and amides I
 (R1 = SO₃H, R2 = HO, MeO, EtO, Me₂CHO, n-PrO, n-BuO, NH₂, NHMe, NMe₂; R1 =

CH₂SO₃H, R₂ = HO, MeO, NH₂) and II (R₁ = SO₃H, R₂ = HO, MeO, EtO, Me₂CHO, n-PrO, n-BuO, NH₂; R₁ = SO₂H, R₂ = HO; R₁ = CH₂SO₃H, R₂ = HO, EtO) is shown to exhibit therapeutic effects per se, and which compds. in combination with cytotoxic compds., such as docetaxel, paclitaxel, doxorubicin and mitoxantrone, exhibit a synergistic effect. They were prepared by reacting all-trans- or 13-cis-retinoic acid with the corresponding L-cysteic or L-homocysteic acid derivative. These compds. make it possible to manufacture new formulations of poorly soluble pharmaceutical compds., and the present invention discloses a process of manufacturing water-soluble formulations of such compds., exemplified by docetaxel, and paclitaxel, exhibiting enhanced pharmacol. activity, and formulations of water-soluble pharmaceuticals exemplified by doxorubicin and mitoxantrone, exhibiting improved therapeutic efficacy. More specifically, the compds. or their combinations with the above-mentioned drugs were tested for cytotoxicity against human breast adenocarcinoma, human prostate carcinoma, human ovary adenocarcinoma, and human lung carcinoma cell lines.

AN 2004:80642 CAPLUS

DN 140:128534

TI Retinol derivatives, their use in the treatment of cancer and for potentiating the efficacy of other cytotoxic agents

IN Strelchenok, Oleg; Aleksov, Julian

PA Ardenia Investments Ltd., UK

SO PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004009538	A1	20040129	WO 2002-SE2087	20021115
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002347747	A1	20040209	AU 2002-347747	20021115
	US 2004048923	A1	20040311	US 2002-295139	20021115
	EP 1534672	A1	20050601	EP 2002-783947	20021115
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
PRAI	SE 2002-2311	A	20020723		
	US 2002-398200P	P	20020723		
	WO 2002-SE2087	W	20021115		
OS	MARPAT	140:128534			

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

AB The invention concerns a polymer comprising water-soluble units so that the chain has ≥2 reactive sites and LCST units consisting of ethylene oxide (I) and propylene oxide (II) random copolymers with I d.p. 0-40 and II d.p. 15-60 so that the chain has ≥1 site reactive with reactive sites from the water-soluble units, or one of its salts.

The invention also concerns an aqueous composition in particular thickened, even

gelled, comprising such a polymer or one of its salts and an aqueous phase for use in cosmetics and pharmaceuticals. The thickened comps. maintain their viscosity with at elevated temps. A typical polymer was manufactured by dropwise adding 3 g polyacrylic acid in 220 mL NMP to 50 mL NMP containing 4.181 g I-II copolymer monoamine (I d.p. 6, II d.p. 39) with rapid stirring at 60°, adding 30 mL NMP containing 2.158 g dicyclohexylcarbodiimide (III) dropwise with rapid stirring at 60°, stirring 12 h at 60°, aging 24 h at 4°, filtering off III, and neutralizing the filtrate with NaOH.

AN 2002:90370 CAPLUS

DN 136:135204

TI Polymer comprising water soluble units and LCST units, and aqueous compositions comprising same

IN L'Alloret, Florence

PA L'oreal, Fr.

SO PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002009064	A1	20020131	WO 2001-FR2094	20010629
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	FR 2811995	A1	20020125	FR 2000-9614	20000721
	FR 2811995	B1	20030606		
	EP 1307501	A1	20030507	EP 2001-949591	20010629
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004515570	T2	20040527	JP 2002-514688	20010629
	US 2004214913	A1	20041028	US 2003-312592	20030811
PRAI	FR 2000-9614	A	20000721		
	WO 2001-FR2094	W	20010629		

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d abs bib hitstr 6-16

L2 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

AB Alkali or alkaline earth metal salts and derivs. of heparin (especially ammonium

salt), useful as diagnostic tools, pharmaceuticals, and biochemical intermediates, are produced in very pure form by passing a concentrated (5-20%) heparin solution at pH 6.0-7.5 through a mol. sieve (dextran polymer, cellulose, or polyacrylamide, mol. weight cut-off preferably 5000) equilibrated by the desired cation followed by eluting with a solution having an adequate composition. The procedure reduces the content of cationic impurities in heparin to a negligible level. Thus, a solution of 10.0 g

heparin Na salt (biol. activity 106 IU/mg) in 100 mL 0.8 M KCl was passed through a 10 + 90-cm Sephadex G 25 column equilibrated with 15 L of 0.8 M aqueous LiCl. Elution with 0.8 M LiCl (35 mL/min) gave a main fraction (2.45 L) which was mixed with EtOH (70% of the fraction volume) to give 8.1 g heparin Li salt having biol. activity 75 IU/mg and containing 3.01% Li, <0.1% Na, and <0.1% K.

AN 1994:638390 CAPLUS

DN 121:238390

TI Process for preparing very pure water-soluble heparin salts

IN Klancik, Jaromir; Brodina, Robert; Vavra, Vladimir; Hedrlinova, Olga

PA LECIVA s. p., Czech.

SO Czech., 3 pp.

CODEN: CZXXA9

DT Patent

LA Czech

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CS 276546	B6	19920617	CS 1990-2905	19900612
PRAI	CS 1990-2905		19900612		

L2 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

AB A new enteric-coated formulation of sodium ursodeoxycholate was prepared and administered to men. The barrier film disintegrates and releases the drug only at pH \geq 5.5. The sodium salt of glycoursoodeoxycholate was also prepared and encapsulated like ursodeoxycholate. Serum levels of ursodeoxycholate and glycoursoodeoxycholate were measured by specific enzyme immunoassay after oral administration of their sodium salts in an enteric-coated formulation at equimolar doses of 475 and 540 mg. The same subjects also received in sep. expts. ursodeoxycholic acid, sodium ursodeoxycholate, and glycoursoodeoxycholic acid in gelatin capsules. The mean area under the curve ($\mu\text{mol/L}\cdot\text{h}$) following administration of enteric-coated sodium ursodeoxycholate was significantly higher than that of either ursodeoxycholic acid or sodium ursodeoxycholate administered in a conventional gelatin capsule. No differences were found when glycoursoodeoxycholic acid was administered as an enteric-coated sodium salt or in acid form in gelatin capsules. Ursodeoxycholic was administered at a dose of 10 $\mu\text{mol}/\text{min}/\text{kg}$ over 1 h to bile fistula rats both intraduodenally (i.d.) and i.v. The experiment included administration of the sodium salt in solution and the acid as a suspension. A similar experiment was performed with glycoursoodeoxycholic acid. The ratio of the amount recovered from bile in the i.d. to that in the i.v. experiment is almost 1 for the sodium salt of ursodeoxycholate in solution, while it drops to 0.55 for ursodeoxycholic acid. No differences were found between i.v. and i.d. administration when glycourosdeoxycholic acid was administered in acid form and as a soluble sodium salt. The limiting factor for ursodeoxycholic acid intestinal absorption is its poor solubility and the high pH (8.4) it requires for micellar solubilization. On the other hand, glycoursoodeoxycholic acid is well absorbed either in acid form or as a sodium salt because of its higher solubility at lower pH (6.4). The new enteric-coated sodium ursodeoxycholate formulation resulted in complete solubilization and increased absorption.

AN 1994:330999 CAPLUS

DN 120:330999

TI Improved intestinal absorption of an enteric-coated sodium ursodeoxycholate formulation

AU Roda, Aldo; Roda, Enrico; Marchi, Egidio; Simoni, Patrizia; Cerre, Carolina; Pistillo, Antonio; Polimeni, Carla

CS Dip. Sci. Farm., Univ. Bologna, Bologna, Italy
 SO Pharmaceutical Research (1994), 11(5), 642-7
 CODEN: PHREEB; ISSN: 0724-8741
 DT Journal
 LA English

L2 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
 AB Water-soluble tetraazaporphines, fluorochromes from them, biol. substances labeled with the fluorochromes, reagents comprising them, and their use in fluorescence anal. are described. A semiconductor laser having an output wavelength of 670-840 nm is used as a light source. Na bis(tributylsilyloxy)silicon tetraphenylthio(naphthalocyanine)octacarboxylate (I) (preparation described) was coupled to the 5'-end of ACACAACTGTGTTCACTAGC and used in the detection of the β -globin gene in human DNA. I was also coupled to PABA and morphine. Antimorphine monoclonal antibody had only slightly diminished affinity for the morphine conjugate.

AN 1994:101292 CAPLUS

DN 120:101292

TI Water-soluble tetraazaporphines and fluorochromes for labeling

IN Tai, Seiji; Katayose, Mitsuo; Watanabe, Hiroo

PA Hitachi Chemical Co., Ltd., Japan

SO Eur. Pat. Appl., 110 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 502723	A2	19920909	EP 1992-301873	19920304
	EP 502723	A3	19930127		
	EP 502723	B1	19961009		
	R: DE, FR, GB, IT, NL				
	JP 05163439	A2	19930629	JP 1992-22192	19920207
	JP 2964761	B2	19991018		
	US 5438135	A	19950801	US 1992-846169	19920305
PRAI	JP 1991-38349	A	19910305		
	JP 1991-146005	A	19910618		
	JP 1991-159308	A	19910701		
	JP 1991-268016	A	19911017		
OS	MARPAT 120:101292				

L2 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

AB Pharmaceutical spherical granules are prepared containing a water-soluble active agent (pharmaceutical), a polymeric binder, and ≥ 1 electrolyte such as inorg. and organic Na or K salts. These granules may contain a large amount of pharmaceuticals and are further coated with gastric-soluble or enteric-soluble coating compns.

Thus, lobenzarit disodium 60, crystalline cellulose 10, lactose 20, and NaCl 5 parts by weight were mixed, and kneaded with 30% by weight EtoH containing 3% hydroxypropyl cellulose. The mixture was made into spherical granules and coated with a coating material consisting of Eudragit L-30D55 400, triacetin 12, talc 28, and H2O 560 parts by weight

AN 1990:164999 CAPLUS

DN 112:164999

TI Spherical granules containing pharmaceuticals, polymeric binders, and electrolytes

IN Ikushima, Heiji

PA Chugai Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF

DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 01172320	A2	19890707	JP 1987-329336	19871225
PRAI	JP 1987-329336		19871225		

L2 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

AB Topical pharmaceuticals contain 1-100 g/L local anesthetics, 1-100 g/L nucleosides, a preservative, and carriers. The nucleosides are selected from adenosine, guanosine, inosine, uridine, or their water-soluble mono-, di-, or triphosphates. A solution contained 10 mg/mL Mepivacaine·HCl (solution A). Another solution contained di-Na dihydrogenadenosine phosphate 6, adenosine diphosphoric acid 2, adenosine monophosphoric acid 2, guanosine monophosphoric acid 4, adenosine 10, guanosine 2, inosine 10, uridine 2, and chlorocresol 2 mg/mL (solution B). A patient suffering from a strained muscle was treated by infiltration with a 1:1 mixture containing solution A and solution B. The patient was free of pain

within 4 days.

AN 1988:516085 CAPLUS

DN 109:116085

TI Topical pharmaceuticals containing local anesthetics and nucleosides

IN Frankhof, Wolfgang; Thiemer, Klaus

PA Fed. Rep. Ger.

SO Ger. Offen., 5 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3701497	A1	19880728	DE 1987-3701497	19870120
	WO 8805299	A1	19880728	WO 1988-EP30	19880116
	W: AU, BG, BR, DK, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	AU 8811508	A1	19880810	AU 1988-11508	19880116
	EP 297630	A1	19890104	EP 1988-200123	19880116
	R: ES, GR				
	EP 363355	A1	19900418	EP 1988-901040	19880116
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
PRAI	DE 1987-3701497	A	19870120		
	WO 1988-EP30	A	19880116		

L2 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

AB A process is described for the simultaneous formation and encapsulation of small particles (<2 µm) of weakly acidic and weakly basic organic compds. upon precipitation at a selected temperature in the presence of a surfactant mixture,

induced by pH change from a 1st pH at which their solubility in water is greater to a 2nd pH at which it is lower. As the pH of the solution changes, the compound's solubility is altered and a coacervate forms between the anionic or cationic surfactant (as the case may be) and the amphoteric surfactant simultaneously with the precipitation of the compound. The process is used to prepare

a readily soluble encapsulated pharmaceutically active compound. Thus, sulfadiazine, Na lauryl sulfate, and Miranol SM (42-44% solids by weight) at molar ratios of 1:1:1-4.4:1:1 and 1:2:2 were dissolved in NaOH (0.05 or 0.1N) and sulfadiazine precipitated by dropwise HCl (1.0N) addition while stirring to form a small-particle encapsulated product.

AN 1987:9368 CAPLUS

DN 106:9368

TI Small particle formation

IN Frank, Sylvan G.; Brodin, Arne F.; Chen, Chih Ming J.; Shrivastava, Ratnesh

PA Ohio State University Research Foundation, USA

SO U.S., 4 pp. Cont.-in-part of U.S. Ser. No. 506,598, abandoned.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4606939	A	19860819	US 1984-621133	19840615
	IL 72104	A1	19880331	IL 1984-72104	19840614
	ES 533586	A1	19851216	ES 1984-533586	19840620
	CA 1245631	A1	19881129	CA 1984-457113	19840621
	WO 8500110	A1	19850117	WO 1984-US964	19840622
	W: AU, DK, FI, GB, HU, JP, NO				
	AU 8431026	A1	19850125	AU 1984-31026	19840622
	AU 569534	B2	19880204		
	HU 35532	O	19850729	HU 1984-3004	19840622
	HU 196909	B	19890228		
	GB 2151925	A1	19850731	GB 1985-3457	19840622
	JP 60501594	T2	19850926	JP 1984-502651	19840622
	JP 04056659	B4	19920909		
	NO 8500447	A	19850206	NO 1985-447	19850206
	NO 161715	B	19890612		
	NO 161715	C	19890920		
	FI 8500712	A	19850221	FI 1985-712	19850221
	FI 86374	B	19920515		
	FI 86374	C	19920825		
PRAI	US 1983-506598	A2	19830622		
	US 1984-621133	A	19840615		
	WO 1984-US964	A	19840622		

L2 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

AB Emulsions consist of (1) glycolipids and/or their salts, (2) proteins and/or their salts, (3) soluble polyhydric alcs., and (4) oils. These are useful for the preparation of cosmetics, pharmaceuticals, and foods. Thus, a cosmetic facial jelly consisted of rhamnolipid R-1 2.0, casein Na 1.0, glycerin 20.0, 70% maltitol solution 10.0, Na hyaluronate 0.1, squalane 40.0, olive oil 22.5, glyceryl tristearate 3.0, vitamin E acetate 0.5, a preservative 0.5, and a perfume 0.4% by weight

AN 1986:115880 CAPLUS

DN 104:115880

TI Emulsions for cosmetics, pharmaceuticals, and foods

IN Kumano, Yoshimaru; Tajima, Masahiro; Komazaki, Hisayuki; Shimizu, Katsura

PA Shiseido Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 60183032	A2	19850918	JP 1984-40080	19840302
	JP 05007061	B4	19930128		
PRAI	JP 1984-40080		19840302		

L2 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
 AB Suppositories contain soluble proteins 5-55, polyhydric alcs. 10-40, H₂O 25-65, and pharmaceuticals 0.05-15.0% by weight. Pharmaceuticals are rapidly absorbed in the colon from these compns. Thus, ifenprodil was suspended in H₂O, and glycerin and parabens were added and heated to 50°, followed by gelatin. The mixture was molded into shape.

AN 1986:75066 CAPLUS

DN 104:75066

TI Pharmaceutical suppositories containing soluble proteins and polyhydric alcohols

IN Kikazawa, Kazuo; Otani, Hideaki; Tanaka, Jun; Hayashida, Shigeru

PA Grelan Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 60214729	A2	19851028	JP 1984-66712	19840405
PRAI	JP 1984-66712		19840405		

L2 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

AB Salts of escin [6805-41-0] polysulfate esters are prepared by dissolving escin in an electron-donating organic solvent, treating it with excess chlorosulfonic acid, and then precipitating the esters as pharmaceutically acceptable salts. These salts are more H₂O-soluble than escin, and show good percutaneous absorption and edema-inhibiting and antithrombotic activity. For example, a solution of 0.8 kg escin in 2 L pyridine was added to 2.5 L chlorosulfonic acid in 8.5 L pyridine and the reaction mixture was heated at 85° and then poured into EtOH to precipitate the polysulfate ester. The ester was treated with NaOH to give the escin polysulfate ester Na salt (I), which contained 12.8% organic-bound S. A galenic I composition

contained I 2.0, Carbopol 940 2.0, lavender oil 0.35, neroli oil 0.35, triethanolamine 2.5, and iso-PrOH 27.0 kg and H₂O (to 100.0 kg).

AN 1977:127304 CAPLUS

DN 86:127304

TI Pharmaceutical sulfated saponin salts

IN Madaus, Rolf

PA Madaus, Dr., und Co., Fed. Rep. Ger.

SO Ger. Offen., 10 pp.

CODEN: GWXXBX

DT Patent

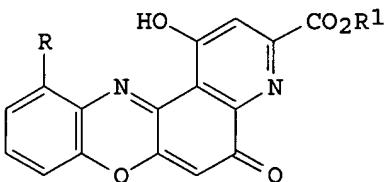
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2530954	A1	19770127	DE 1975-2530954	19750711
	FI 7601956	A	19770112	FI 1976-1956	19760705
	CS 193552	P	19791031	CS 1976-4472	19760706

GB 1492023	A	19771116	GB 1976-28231	19760707
AU 504838	B2	19791101	AU 1976-15731	19760708
CA 1064904	A1	19791023	CA 1976-256652	19760709
HU 174602	P	19800228	HU 1976-MA2795	19760709
RO 70425	P	19801230	RO 1976-86930	19760710
BE 844054	A1	19770112	BE 1976-168850	19760712
FR 2316960	A1	19770204	FR 1976-21312	19760712
FR 2316960	B1	19790302		
JP 52036655	A2	19770322	JP 1976-82844	19760712
JP 56014117	B4	19810402		
PRAI DE 1975-2530954	A	19750711		

L2 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
 GI



I, R¹=Na
 II, R¹=H

AB Na salts (I) of 1-hydroxy-5-oxo-5H-pyrido[3,2-a]phenoxazine-3-carboxylic acids were prepared wherein R = H, CO₂H, CO₂Me or CONHCH₂CO₂H. I are very soluble in water and I(R = H) is useful in preventing cataracts. I can be obtained with high yield accompanying no decomposition by dissolving 1-hydroxy-5-oxo-5H-pyrido[3,2-a]phenoxazine-3-carboxylic acids (II) in 0.1-5% aqueous buffer son. of pH 6.0-12.0 and salting out (I) using inorg. salts.

AN 1976:499213 CAPLUS
 Correction of: 1974:6959

DN 85:99213
 Correction of: 80:6959

TI Sodium salts of 1-hydroxy-5-oxo-5H-pyrido-(3,2-a)phenoxazine-3-carboxylic acids and their derivatives

IN Ishi, Satoru; Ogata, Kazumi
 PA Senju Pharmaceutical Co., Ltd., Japan
 SO Jpn. Tokkyo Koho, 3 pp.
 CODEN: JAXXAD

DT Patent
 LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI JP 48002762	B4	19730126	JP 1969-71159	19690908

L2 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

AB (CH₃)₂CO 100 l. is boiled under reflux in an iron vessel, a mixture of S 6 kg., and powdered NaOH 7.7 kg. is added gradually with stirring. After 40 min. the (CH₃)₂CO is recovered to leave a sirup, which is dried at 100°. The product may be purified by ligroine in a Soxhlet extractor and has a mol. weight of 325 or 699 varying according to the

solvent. It is a gray metal hygroscopic powder having a sulfurous smell, soluble in water, EtOH, and Me₂CO but not in CS₂ or C₂HCl₃, and is decomposed by mineral acids. The Pb salt precipitated from dilute solution is red.

Solns. of the Na salt may be used in agriculture and in therapeutics to replace the use of S.

AN 1951:62108 CAPLUS
 DN 45:62108
 OREF 45:10520b-c
 TI Colloidal sodium salt
 IN Garcia-Fernandez., H.
 DT Patent
 LA Unavailable
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI FR 957549		19500220	FR	

=> s review and solubility of pharmaceuticals

2072204 REVIEW

69152 REVIEWS

2102449 REVIEW

(REVIEW OR REVIEWS)

64107 SOLUBILITY

20911 SOLUBILITIES

76860 SOLUBILITY

(SOLUBILITY OR SOLUBILITIES)

214288 SOLY

1 SOLIES

214288 SOLY

(SOLY OR SOLIES)

232875 SOLUBILITY

(SOLUBILITY OR SOLY)

0 PHARMACEUTICALS

0 SOLUBILITY OF PHARMACEUTICALS

(SOLUBILITY (1W) PHARMACEUTICALS)

L3 0 REVIEW AND SOLUBILITY OF PHARMACEUTICALS

=> s review and solubility of pharmaceuticals

2072204 REVIEW

69152 REVIEWS

2102449 REVIEW

(REVIEW OR REVIEWS)

64107 SOLUBILITY

20911 SOLUBILITIES

76860 SOLUBILITY

(SOLUBILITY OR SOLUBILITIES)

214288 SOLY

1 SOLIES

214288 SOLY

(SOLY OR SOLIES)

232875 SOLUBILITY

(SOLUBILITY OR SOLY)

87269 PHARMACEUTICALS

77 SOLUBILITY OF PHARMACEUTICALS

(SOLUBILITY (1W) PHARMACEUTICALS)

L4 12 REVIEW AND SOLUBILITY OF PHARMACEUTICALS

=> d abs bib hitstr 1-12

- L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
 AB A review is given. A strategy for understanding of fate and distribution of pharmaceuticals in the environment, starting from their physicochem. properties and modeling approaches through stepwise procedure is described. It comprises 4 stages: data evaluation, the use of generic models, the use of regional models and the use of site-specific models. Data evaluation involved the collection and critical assessment of structural formulas and physicochem. data, such as mol. weight, vapor pressure, solubility in water, Kow, and pKa. The use of generic model is necessary to understand the main environmental pathways of the chems. in a generic regional environment with predefined emission scenarios. This stage will give important information on the mobility and overall persistence of a chemical in the different phases. The use of a regional model implies the collection of regional environmental data and the simulations in these regional scenarios. When regional modeling exercises confirm that one medium is the environmental compartment that is relevant for the fate of a certain chemical, the use of site specific model is required in order to predict its environmental concns. with a satisfying level of accuracy.
- AN 2004:1027389 CAPLUS
 DN 143:234146
 TI Pharmaceuticals as environmental contaminants: modeling distribution and fate
 AU di Guardo, A.; Calamari, D.; Benfenati, E.; Halling-Sorensen, B.; Zuccato, E.; Fanelli, R.
 CS Department of Structural and Functional Biology, University of Insubria, Varese, I-21100, Italy
 SO Pharmaceuticals in the Environment (2nd Edition) (2004), 183-194.
 Editor(s): Kuemmerer, Klaus. Publisher: Springer GmbH, Berlin, Germany.
 CODEN: 69GEUV; ISBN: 3-540-21342-2
 DT Conference; General Review
 LA English
 RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
 AB A review with 110 refs. The quant. development of the nonergodic mobile order thermodn. involving the new interpretation of the hydrophobic effect leads to a general solubility equation. This equation is applied to predict the aqueous and alc. solubility of chems. ranging from nonpolar or slightly polar with no H-bonding capacity to polyfunctional polar compds. including pharmaceuticals. The anal. of the relative importance of the contributions involved in the solubility model [i.e., the fluidization of the solute (for solids), the correction for the mixing entropy, the change of the nonspecific cohesion forces, and the formation of solvent-solvent (hydrophobic effect), solute-solute, and solute-solvent H-bonds] unambiguously demonstrates that the hydrophobic effect is essential for predicting the aqueous or alc. solubility of any substance in general, and of nonpolar compds. in particular. The difference between the origin of the solubility of hydrocarbons in water and of water in hydrocarbons is furthermore presented. In both cases, the quasilinear solubility dependence on the molar volume of the hydrocarbon is of an entropic nature.
- AN 1998:485746 CAPLUS
 DN 129:126947
 TI The Hydrophobic Effect. 2. Relative Importance of the Hydrophobic Effect

on the Solubility of Hydrophobes and Pharmaceuticals in H-Bonded Solvents
AU Ruelle, Paul; Kesselring, Ulrich W.
CS Institut d'Analyse Pharmaceutique Section de Pharmacie, Universite de Lausanne, Lausanne, CH-1015, Switz.
SO Journal of Pharmaceutical Sciences (1998), 87(8), 998-1014
CODEN: JPMSAE; ISSN: 0022-3549
PB American Chemical Society
DT Journal; General Review
LA English
RE.CNT 110 THERE ARE 110 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
AB A review with 17 refs. on the basic theor. concepts and the working conditions (sink conditions) on the in vitro dissoln. test. The different factors influencing the dissoln. test are also discussed.
AN 1991:663103 CAPLUS
DN 115:263103
TI Studies on in vitro drug dissolution: conditions and factors influencing dissolution rates
AU Recasens Brianso, J.; Sune i Negre, J. Maria
CS Fac. Farm., Zona Univ. Pedralbes, Barcelona, 08028, Spain
SO Circular Farmaceutica (1943-1992) (1990), 48(4), 319-30
CODEN: CIFAA3; ISSN: 0366-6425
DT Journal; General Review
LA Spanish

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
AB A review with 33 refs. discussing the solubility parameters of drugs and vehicles to describe the biol. transport of drugs by skin.
AN 1990:538346 CAPLUS
DN 113:138346
TI The use of solubility parameters of drug and vehicle to describe skin transport
AU Sloan, Kenneth B.
CS Univ. Florida, Gainesville, FL, USA
SO Drugs and the Pharmaceutical Sciences (1990), 42(Top. Drug Delivery Formulations), 245-70
CODEN: DPHSDS; ISSN: 0360-2583
DT Journal; General Review
LA English

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
AB This review with 90 refs. is centered on the application of solubility parameters theory to pharmaceutical systems. The solubility parameter and a regular solution are defined and their extension to semipolar or polar systems is described. This work deals mainly with 3 fields of pharmaceutical interest: solns., surface and colloidal science, and biol. applications. The extended Hildebrand and extended Hansen approaches, used in the treatment of polar solvent mixts. and individual solvents, allow the researcher and industrial pharmacist to predict the solubility of a drug in various solvents and to explore the theor. basis of solute-solvent interaction. The paper also describes the use of the solubility parameters in other pharmaceutical dosage forms, including emulsions, suspensions and tablets, where the surface energy plays an important role. Biol. application constitute another field of pharmaceutical interest. The solubility parameter can be employed for example, to predict bioabsorption and protein binding. Several sample calcns. are included.

AN 1990:145371 CAPLUS
DN 112:145371
TI Solubility parameters applied to the pharmaceutical sciences
AU Martin, Alfred; Bustamante, Pilar
CS Coll. Pharm., Univ. Texas, Austin, TX, 78712, USA
SO Anales de la Real Academia de Farmacia (1989), 55(2), 175-202
CODEN: ARAFAY; ISSN: 0034-0618
DT Journal; General Review
LA Spanish

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
AB A review and discussion with 5 refs. on solubility theory, thermodn. of solubility and its application to drug formulation.
AN 1985:100635 CAPLUS
DN 102:100635
TI Solubility concepts and their applications to the formulation of pharmaceutical systems
AU Flynn, Gordon L.
CS Coll. Pharm., Univ. Michigan, Ann Arbor, MI, USA
SO Journal of Parenteral Science and Technology (1984), 38(5), 202-9
CODEN: JPATDS; ISSN: 0279-7976
DT Journal; General Review
LA English

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
AB A review with 33 refs. of such factors as pH change, common-ion and salting-out effects, micellar solubility, and cosolvency that affect drug solubility in parenteral preps.
AN 1982:428459 CAPLUS
DN 97:28459
TI Possible solubility problems which may arise in intravenous fluids containing added drugs or drug solutions: a short review
AU McDonald, Charles
CS Sch. Pharm., West. Aust. Inst. Technol., South Bentley, 6102, Australia
SO Australian Journal of Hospital Pharmacy (1982), 12(1), S8-S13
CODEN: AUHPAI; ISSN: 0310-6810
DT Journal; General Review
LA English

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
AB A review with 19 refs. of the use of complexation to enhance the solubility of drugs.
AN 1982:40777 CAPLUS
DN 96:40777
TI Techniques of solubilization of drugs. Alteration of apparent solubility through complexation
AU Repta, A. J.
CS Sch. Pharm., Univ. Kansas, Lawrence, KS, USA
SO Drugs and the Pharmaceutical Sciences (1981), 12(Tech. Solubilization Drugs), 135-57
CODEN: DPHSDS; ISSN: 0360-2583
DT Journal; General Review
LA English

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
AB A review with 19 refs. on the solubility of drugs in water.
AN 1981:575587 CAPLUS
DN 95:175587
TI Dissolution of drugs

AU Kaneniwa, Nobuyoshi
 CS Pharm. Coll., Showa Univ., Japan
 SO Funtai to Kogyo (1981), 13(5), 22-30
 CODEN: FTKODD; ISSN: 0287-6280
 DT Journal; General Review
 LA Japanese

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
 AB A review with 13 refs. of the effect of wetting on the dissoln. of pharmaceuticals and of methods of studying the solubility of pharmaceuticals.

AN 1981:180543 CAPLUS
 DN 94:180543
 TI Wettability and solubility
 AU Cave, Guy
 CS Cent. Etudes Pharm., Univ. Paris-Sud, Chatenay-Malabry, 92290, Fr.
 SO Labo-Pharma - Problemes et Techniques (1981), 29(307), 167-72
 CODEN: LPPTAK; ISSN: 0458-5747
 DT Journal; General Review
 LA French

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
 AB A review and discussion with 29 refs.

AN 1981:7614 CAPLUS
 DN 94:7614
 TI The automation of dissolution testing
 AU Wahlich, John C.
 CS Univ. Nottingham, Nottingham, UK
 SO Pharmaceutical Technology (1980), 4(9), 92-7, 99-101
 CODEN: PTECDN; ISSN: 0147-8087
 DT Journal; General Review
 LA English

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

AB A review discussion with 23 refs. on the use of polyvinylpyrrolidone [9003-39-8] to increase solubility of pharmaceuticals by copptn.
 AN 1979:581309 CAPLUS
 DN 91:181309
 TI The use of polyvinylpyrrolidone (PVP) in coprecipitation
 AU Sultana, Najma; Arayne, Saeed M.; Saify, Z. S.
 CS Pak.
 SO JPMA, the Journal of the Pakistan Medical Association (1979), 29(4), 77-80
 CODEN: JJPAD4; ISSN: 0030-9982
 DT Journal; General Review
 LA English

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=> s review and sodium salt and solubility of drugs
  2072204 REVIEW
    69152 REVIEWS
  2102449 REVIEW
    (REVIEW OR REVIEWS)
  1022471 SODIUM
    34 SODIUMS
  1022480 SODIUM
    (SODIUM OR SODIUMS)
  759463 SALT
  588744 SALTS
  1130624 SALT
    (SALT OR SALTS)
  78382 SODIUM SALT
    (SODIUM(W) SALT)
  64107 SOLUBILITY
  20911 SOLUBILITIES
  76860 SOLUBILITY
    (SOLUBILITY OR SOLUBILITIES)
  214288 SOLY
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1 SOLIES
214288 SOLY
(SOLY OR SOLIES)
232875 SOLUBILITY
(SOLUBILITY OR SOLY)
309055 DRUGS
293 SOLUBILITY OF DRUGS
(SOLUBILITY(1W)DRUGS)
L5 0 REVIEW AND SODIUM SALT AND SOLUBILITY OF DRUGS

=> s review and sodium salt and solubility
2072204 REVIEW
69152 REVIEWS
2102449 REVIEW
(REVIEW OR REVIEWS)
1022471 SODIUM
34 SODIUMS
1022480 SODIUM
(SODIUM OR SODIUMS)
759463 SALT
588744 SALTS
1130624 SALT
(SALT OR SALTS)
78382 SODIUM SALT
(SODIUM(W) SALT)
64107 SOLUBILITY
20911 SOLUBILITIES
76860 SOLUBILITY
(SOLUBILITY OR SOLUBILITIES)
214288 SOLY
1 SOLIES
214288 SOLY
(SOLY OR SOLIES)
232875 SOLUBILITY
(SOLUBILITY OR SOLY)

L6 20 REVIEW AND SODIUM SALT AND SOLUBILITY

=> d abs bib hitstr 1-12

L6 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AB A review with 51 refs. was presented of properties of flavanoids relating to their therapeutic uses. Flavonoids belong to a large group of natural compds. of substantial biol. activity. Some examples are rutin and hesperidin which are widely used in medical treatment. Recent growing interest in these compds. comes from their possible application as natural antioxidants protecting against harmful action of free radicals. Moreover, flavonols form complexes with metal cations and some of them are used as anal. reagents. The major reason for limited application of flavonoids is their weak solubility in water. Sulfonic derivs. of flavonoids due to the presence of sulfonic group in the mol. are soluble in water. They form complexes with metal ions like their parent compds. and the complexation range is significantly wider than in the case of bioflavonoids. It has been proposed to use quercetin-5'-sulfonic acid (QSA) and sodium salt of morin-5'-sulfonic acid (NaMSA) as new reagents in spectro- photometric determination of metals. Some of the compds. of Al, Ga and In with quercetin-5'-sulfonic acid and sodium salt of morin-5'-sulfonic acid show strong luminescence and for this reason can be used in laser technol. It has

been found that sulfonic derivs. of quercetin could be effective as antidotes against heavy metals - Hg(II), Cd, Pb(II). It was reported that sodium salt of quercetin-5'-sulfonic acid is a particularly active one. Sulfonic derivs. of quercetin, morin and chrysins, exhibit also the activity in different biol. systems. It is supposed that sulfonic derivs. of flavonoids could have greater practical application than their parent compds.

AN 2005:118961 CAPLUS
 DN 143:193825
 TI The sulfonic derivatives of some flavonoids and their properties
 AU Kopacz, Maria; Nowak, Dorota
 CS Katedra Chem. Nieorg. i Anal., Wydz. Chem., Politech. Rzeszowska, Rzeszow,
 35-959, Pol.
 SO Wiadomosci Chemiczne (2004), 58(7-8), 661-670
 CODEN: WICHAP; ISSN: 0043-5104
 PB Polskie Towarzystwo Chemiczne
 DT Journal; General Review
 LA Polish

L6 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

AB A review. A presentation of the element sodium is provided, including discussion of properties, sodium compds., and sodium ions. Soluble sodium salts found in salt ores and inland lakes is briefly discussed.
 AN 2003:723964 CAPLUS
 DN 140:41489
 TI Sodium
 AU Schroder, Knut H.
 CS analytical Chemistry, Norwegian University of Science & Technology, Trondheim, Norway
 SO Chemical & Engineering News (2003), 81(36), 50
 CODEN: CNEAR; ISSN: 0009-2347
 PB American Chemical Society
 DT Journal; General Review
 LA English

L6 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

AB The effect of dissolved humic matters (DHM) on the leachability of polychlorinated dibenzo-p-dioxins and polychlorinated dibenzofurans (PCDD/F) in fly ash was studied theor. and in laboratory condition to verify the previous results for pilot and field experiment of incineration residues landfill. In theor. review, it was shown that DHM could influence the actual solubility and leachability of PCDD/F. The higher concentration of DHM showed the higher leachability of PCDD/F. In the leaching test, 3 different DHM concns. and pHs of solns. were adopted to fly ash samples imaging the various characteristics of municipal solid waste leachate. It was proved exptl. that the leachability of PCDD/F increased with increasing DHM concentration in all pH conditions. The highest leachability was shown at the highest pH. Isomer distribution patterns of PCDD/F in all leachates were similar in all pH conditions. It backed up the distribution theory of PCDD/F between DHM and water.

AN 2002:249183 CAPLUS
 DN 137:113381
 TI Effect of dissolved humic matters on the leachability of PCDD/F from fly ash. Laboratory experiment using Aldrich humic acid
 AU Kim, Yong-Jin; Lee, Dong-Hoon; Osako, Masahiro
 CS Department of Waste Management Research, The National Institute for Environmental Studies, Tokyo, Minato-ku, 108-8637, Japan

SO Chemosphere (2002), 47(6), 599-605
 CODEN: CMSHAF; ISSN: 0045-6535

PB Elsevier Science Ltd.

DT Journal

LA English

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

AB A review with 2 refs. on the chemical and phys. properties of cellulose (I) ethers is presented. I ethers consist of a wide variety of water-soluble and organo-soluble polymers, with an equally wide variety of properties. These properties are a function of the type and amount of substitution of OH groups in the original I backbone, and the mol. weight of the finished product. These polymers can provide water retention, lubricity, green strength, and binding during extrusion and forming. They also help decrease surface defects during drying and firing.

AN 2001:320623 CAPLUS

DN 135:197030

TI Physical and chemical properties of cellulose ethers

AU Smith, Michael R.

CS Dow Chemical Company, Plaquemine, LA, 70765-0400, USA

SO Science of Whitewares II, [Proceedings of the Science of Whitewares II Conference], 2nd, Alfred, NY, United States, May 31-June 2, 1998 (2000), Meeting Date 1998, 57-64. Editor(s): Carty, William M.; Sinton, Christopher W. Publisher: American Ceramic Society, Westerville, Ohio.

CODEN: 69BGUC

DT Conference; General Review

LA English

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

AB A review with numerous refs. on cellulosic associative thickeners from water-soluble cellulose derivs. with well-defined hydrophilic and hydrophobic parts, their synthesis routes via lateral-group reactions and graft copolymer., and the methods for determining the content of bound hydrophobe and the feature of hydrophobic microstructure is presented. Besides, the solubility characteristic and the viscosity behavior of cellulosic associative thickeners in aqueous solns., as well as other properties, e.g. surface activity, adsorption on a hydrophobic substrate, and hydrogel formation, are also presented and discussed.

AN 2001:175925 CAPLUS

DN 134:368397

TI Cellulosic associative thickeners

AU Zhang, L.-M.

CS Institute of Polymer Science, Zhongshan University, Canton, 510275, Peop. Rep. China

SO Carbohydrate Polymers (2001), 45(1), 1-10
 CODEN: CAPOD8; ISSN: 0144-8617

PB Elsevier Science Ireland Ltd.

DT Journal; General Review

LA English

RE.CNT 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

AB A review with no listed refs. The most important food preservatives are presented with respect to their physicochem.,

antiseptic, and toxic properties. The use of food preservatives in food industry according to Polish food law and EU directives is discussed. The most important property of the food preservative determining its technol. usefulness is its degree of dissociation in relation to food pH and its solubility in water. Preservatives such as benzoic acid and its salts, sulfuric anhydride, and sulfites are active only in the acidic pH range. The antimicrobial activity of esters of p-hydroxybenzoic acid and its sodium salt covers a broad pH range. Nitrates use as a preservative of meat color and its dose effectiveness against Clostridium botulinum growth is also considered. The possibility of nitrosamines formation is mentioned.

AN 2000:549497 CAPLUS

DN 134:28541

TI Food preservatives. Part I.

AU Kolakowski, Edward

CS Pol.

SO Przemysl Spozywczy (2000), 54(4), 46-52

CODEN: PRSPAD; ISSN: 0033-250X

PB Wydawnictwo SIGMA-NOT

DT Journal; General Review

LA Polish

L6 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

AB A review with 113 refs. Used for centuries in traditional Chinese medicine, camptothecin was rediscovered in the 1950s during a search for compds. that could be used as a source for steroid synthesis. Due to its limited water solubility, a sodium salt was used in the early clin. trials. The severe toxicity and erratic absorption relegated this compound to the research laboratory until the 1980s

when

the topoisomerase enzyme was identified as the cellular target of camptothecin, the topoisomerase enzyme was found to be overexpressed in cancer cells and a structure-activity relationship was determined for camptothecin. These new developments brought the camptothecins back to the clin. setting for further testing. The various analogs that have been most studied to date include: irinotecan (CPT-11), and its derivative SN-38, topotecan, and 9-aminocamptothecin. Numerous trials have been conducted in an attempt to establish the efficacy in various tumor types, to determine the dose-limiting toxicity and to define the optimal schedule of administration. It seems that large doses of these drugs given on intermittent schedules are not effective. Our hypothesis is that the camptothecins require a prolonged schedule of administration given continuously at low doses or frequent intermittent dosing schedules to be most effective. With these schedules, normal hematopoietic cells and mucosal progenitor cells with low topoisomerase I levels may be spared, while efficacy is preserved.

AN 1998:680795 CAPLUS

DN 130:75623

TI Camptothecins: a review of their development and schedules of administration

AU O'Leary, J.; Muggia, F. M.

CS Division of Oncology, NYU Medical Center, New York, NY, 10016, USA

SO European Journal of Cancer (1998), 34(10), 1500-1508

CODEN: EJCAEL; ISSN: 0959-8049

PB Elsevier Science Ltd.

DT Journal; General Review

LA English

RE.CNT 113 THERE ARE 113 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
 AB The paper reviews the main research work on deacidification carried out in the Chemical Laboratory of the I.C.P.L. since the end of the 60s.
 Studies were made, in particular, on the effects of calcium and magnesium bicarbonates, of barium and calcium hydroxides and of magnesium methoxide. It is emphasized that treatment with deacidifying solns., especially with calcium and magnesium bicarbonates, slows down the degradation of initially acid paper. The difference in behavior of alkaline solns. of magnesium salts on non acid pure cellulose paper according to the type of artificial ageing is also noted: the influence on stability was neg. during "dry" ageing (about 1-2% R.H.) and pos. in "wet" ageing (R.H. > 40%). The effect is not noticeable on previously "deionized" pure cellulose paper. The subsequent studies showed that treatments with high concns. of alkaline sodium salts are definitely damaging for conservation, while very low concns. (1 mmol for 100 g of paper) are "beneficial". It is thus hypothesized, in relation to accelerated artificial ageing, that the different behavior of alkaline salts of the 1st group (e.g. sodium), compared to those of the 2nd group (e.g. calcium or magnesium), is linked to their different solubility. Studies were also made on the effects of "non-aqueous" treatments with barium hydroxide and magnesium and calcium acetates in Me alc. For aqueous deacidification of water sensitive pigments a "semi-saturated" aqueous solution of calcium hydroxide (diluted approx. ten times) was

devised for use with short periods of immersion, or with particular expedients (using "water surface" treatment or soaked filter paper). Finally, mention is made of the latest studies on deacidification with calcium propionate in aqueous or alc. solution, a compound which unites deacidifying and fungistat properties and which turns out to be particularly effective on oxidized paper. The current research aimed at the stabilization of cellulose through reduction with borohydrides and with tert-butylamine borane complex is also discussed. It is concluded that:.

- Alkalization of paper must be a controlled process: the pH must not be made excessively alkaline, and it must not be higher than 10;.
- Since the effect of alkalization could be damaging to papers which are extremely oxidized but not acid (even though this is rare), it is also necessary to assess the effect of alkalization on previously oxidized, or at least pre-aged, papers;.
- The "type" of alkalinity to be given to the paper should also be considered: the alkaline compds. of metals in the 2nd group of the periodic table (calcium, magnesium) are preferable.

AN 1997:395853 CAPLUS

DN 127:33626

TI Conservation of acid paper: studies carried out in the chemistry laboratory of the Istituto Centrale per la Patologia del Libro

AU Zappala, Mariagrazia Plossi

CS Chemical Lab., Istituto Central per la Patologia del Libro (I>C>P>L>), Ministero per i Beni Culturali e Ambientali, Rome, 00184, Italy

SO Restaurator (1997), 18(1), 12-24

CODEN: RESTBP; ISSN: 0034-5806

PB K. G. Saur

DT Journal

LA English

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

AB A review with 27 refs. on the surface tension, moistening capability, foaming capability, solubility, resistance to hard water

and bioactivity of sodium N-acyl sarcosinates and their applications in fine chemical industry.

AN 1996:72203 CAPLUS
 DN 124:179451
 TI The properties and application of sodium N-acyl sarcosinates
 AU Fang, Yun; Xia, Yongmei; Wang, Shuying; Qiu, Feng
 CS Wuxi Univ. Light Industry, Wuxi, 214036, Peop. Rep. China
 SO Riyong Huaxue Gongye (1995), (5), 20-3
 CODEN: RHGOE8; ISSN: 1001-1803
 PB Qinggongyebu Kexue Jishu Qingbao Yanjiuso
 DT Journal; General Review
 LA Chinese

L6 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

AB A review with 39 refs. is given of chemical processes and products utilizing indigenous raw materials. Salts of K, Na, and Mg can be recovered from ocean water and from deposits of crude salts by methods utilizing features of solubility diagrams, including some involving MeOH or Me₂CO. Al silicate materials (clay minerals) can be used to produce Al compds., particularly Al₂O₃, by acid treatment methods and by thermal decomposition

AN 1980:8347 CAPLUS
 DN 92:8347
 TI Inorganic chemical problems of raw material use. I
 AU Emons, Hans Heinz; Ziegenbalg, Siegfried; Kolditz, Lothar
 CS Sekt. Chem., Bergakad. Freiberg, Freiberg, Ger. Dem. Rep.
 SO Zeitschrift fuer Chemie (1979), 19(9), 313-26
 CODEN: ZECEAL; ISSN: 0044-2402
 DT Journal; General Review
 LA German

L6 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

AB A study was made of the applicability of the principles of foam separation to the enrichment and recovery of specific fission product nuclides from typical nuclear waste solns. A review of foam separation principles was given, and the exptl. methods and equipment used were described. Investigation of equilibrium included studies of the characteristics of the exptl. apparatus, the effects of metal ion concentration and foaming agent concentration on

interfacial adsorption equilibrium and the effect of the choice of foaming agent on the potential degree of separation attainable. Screening of com. foaming agents established specific foaming agents and types of agents which are effective in the removal of Cs, Sr, and Ce ions from aqueous solution. Each surfactant was tested for solubility, foamability, and enrichment at 3 different pH values, and for the critical micelle concentration (CMC). Out of 107 surfactants tested, 73 gave a reasonably good foam at 1 or more pH values and were tested for metal ion enrichment. Twenty were promising in Cs removal, 33 in Sr removal, and 10 in Ce removal. Generally, only the anionic type surfactants were effective, and each 1 of the 3 metal ions was best separated within a specific pH range. Also included in this evaluation of specific reagents was the study of several combinations of materials which resulted in promising seprns. of Cs from solution. Studies were made of multistage foam separation column variables.

Among

these variables were the effects of: reflux, foam column height, expanded column head for drainage, splitting foaming agent feed between column top and bottom, and countercurrent foam washing. A detailed discussion and evaluation of the exptl. results relative to the chemical separation of radioisotopes was given.

AN 1964:474362 CAPLUS
 DN 61:74362
 OREF 61:12900d-g
 TI Fission product separation by foam extraction
 AU Weinstock, Jacques J.; Mook, Sarah; Schonfeld, Ernest; Rubin, Eliezer; Sanford, Robert
 CS Radiation Appl. Inc., Long Island City, NY
 SO U.S. At. Energy Comm. (1963), Volume NYO-10038, 123 pp.
 From: Nucl. Sci. Abstr. 18(2), Abstr. No. 1797(1964).
 DT Report
 LA Unavailable

L6 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
 AB The various theories of the flotation response of salts to collectors are reviewed in detail. The salts of Li, Na, K, Rb, Ca, and NH4 with Cl, Br, and SO4 are among those considered in terms of their response to hydrocarbon collectors. The theories reviewed include those of solubility and the formation of compds., ion substitution, structural similarity of surfaces, and hydration dependence.

AN 1962:447624 CAPLUS
 DN 57:47624
 OREF 57:9457a-b
 TI The mechanism of selective salt flotation and discussion of underlying theories
 AU Singewald, Arno
 CS Wintershall A.-G., Frankfort, Germany
 SO Quart. Colo. School Mines (1961), 56(No. 3), 65-88
 DT Journal
 LA Unavailable

=> d abs bib hitstr 13-20

L6 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
 AB To increase the solubility of essential oils in H2O, the phenomenon of "conjugated" or colloidal solubility was used. The exptl. oil was the essential oil of fennel. Components of the colloidal solvents used were aqueous solns. of soaps and alcs.: alkali salts of naphthenic acids, and soaps of the higher fatty acids, oleic and stearic, and the alcs. EtOH, iso-BuOH, iso-AmOH, and octyl alc. The graphic method, adopted for the 3 components (H2O-soap-alc.) was the Gibbs triangle. To obtain clear, homogeneous solns. of essential oil, the complex colloidal solvent, consisting of iso-AmOH and Na naphthenic soaps, is recommended. The essential oil solns. thus prepared can be applied in concns. up to 30% in medicine, perfumery, and pharmacy.

AN 1960:83060 CAPLUS
 DN 54:83060
 OREF 54:15845e-g
 TI Dissolving of essential oils by the use of complex colloidal solvents
 AU Andreeva, M. A.
 SO Uchenye Zapiski Azerbaidzhan. Gosudarst. Univ. im. S. M. Kirova (1957), (No. 7), 35-9
 DT Journal
 LA Russian

L6 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
 AB A lecture. A critical review of the mechanism of micelle formation, the structure of micelles, and the solubilization of water in nonpolar solvents. Viscosity and sedimentation measurements by R. on Na

p-(tripentylmethyl)benzenesulfonate indicated micelles having the shape of an ellipsoid of revolution with ratio of radii 10 to 15. Measurements on solns. of Ca dinonylnaphthalenesulfonate, Na dioctyl sulfosuccinate, and Na p-(tripentylmethyl)benzenesulfonate in heptane showed that micelles can be formed in the absence of water. To the soap, dissolved in EtOH, excess radioactive tritium water was added, the solution evaporated to dryness, the residue dried for 4 hrs. at 60° under high vacuum and then dissolved in dry heptane. In all cases less than 1 OH group on 20 mols. of soap was found, whereas the existence of micelles was shown by ultracentrifuge. 37 references.

- AN 1959:65956 CAPLUS
 DN 53:65956
 OREF 53:11946e-f
 TI Micelle formation and solubilization in nonpolar systems
 AU Reerink, H.
 CS Koninklijke/Shell Lab., Amsterdam
 SO Chemisch Weekblad (1958), 54, 721-6
 CODEN: CHWEAP; ISSN: 0009-2932
 DT Journal
 LA Unavailable
- L6 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
 AB cf. C.A. 45, 9010e. The mechanism of the condensation reactions of (p-HOC₆H₄)₂CMe₂ (I) with 1, 2, 3, and 4 moles of CH₂O was investigated. In order to condense the I in a homogeneous phase, the runs were carried out with the mono-Na (II) and the di-Na (III) salts of I by adding the appropriate amount of CH₂O to the refluxing aqueous solution; the end of the condensation reaction was determined by the time of flow in an Ostwald viscosimeter. The reaction mixture was then neutralized with 2N H₂SO₄, the precipitated condensation product taken up in 100-50 cc. Et₂O, EtOAc, or BuOH, and the solution dried with Na₂SO₄ and evaporated on the water bath below 50°. At room temperature the condensation could only be carried out with III; the mixture was then worked up after 48 hrs., although traces of unreacted CH₂O were still present. The resulting condensation products could be hardened by heating 1 hr. at 170 and 180°. The reaction of 1 mole I with 1 mole CH₂O was carried out at 100° with II, and at room temperature with III; the reaction at 100° proceeded very fast and was terminated in 10 min., whereas at room temperature 48 hrs. were required. The resulting condensation products were taken up after neutralization with H₂SO₄ in Et₂O, to yield light-yellow resins, which became brittle and sticky at 20° and viscous at 30°; for these compds. having the empirical formula C₁₆H₁₈O₃ the structure as p-HOC₆H₄[4,3-HO(HOCH₂)C₆H₃]CMe₂ (IV) is postulated. The determination of the mol. weight in BuOH showed that the BuOH forms with IV large mol. aggregates or micelles; in EtOAc much smaller values, corresponding to the actual mol. weight, were obtained. At 180° II loses 1 mole H₂O to give, with cross-linking, resins which are only to a small extent soluble in Me₂CO, EtOH, and EtOAc. A sample of IV hardened by heating at 170° gave off about 0.5 mole H₂O/mole IV to form a hardened product which differs in its properties considerably from the product obtained at 180°; it is soluble after some time in Me₂CO and the other common organic solvents; its properties suggest that only the dimer has been formed. The condensation product from 1 mole III with 2 moles CH₂O is easily soluble in Et₂O, and consists of a highly viscous but highly brittle, amber-yellow, transparent resin which softens at 30°; its empirical formula is C₁₇H₂₀O₄ and it appears to be [4,3-HO(HOCH₂)C₆H₃]₂CMe₂ (V). Further condensation with V was very slow. V is not attacked by fuming cold HCl, but dissolves in concentrated H₂SO₄ with formation of a soluble sulfo derivative Heat treatment of V 1

hr. at 170° cleaved 1 mole H₂O/mole V to give a resinous compound, which evolved only H₂O and no CH₂O at even higher temps. This suggests that at 170° only 1CH₂OH group takes part in the condensation reaction with formation of a CH₂ bridge. Also at 180° only CH₂ bridges appear to be formed, since again no CH₂O is given off at even higher temps. Since the dimethylol compound loses about 1.5 mole H₂O (in reference to V), it is postulated that in this condensation reaction a further spacial cross-linking occurs. The resulting products, which are affected by organic solvents, are still soluble in concentrated H₂SO₄ almost without

residue. In the condensation reaction of 1 mole II with 2 moles CH₂O at higher temperature there is formed a compound C₁₇H₂₀O which contains the 2CH₂OH groups apparently in 1 benzene nucleus; it is assumed to be p-HOC₆H₄[4,3,5-HO(HOCH₂)₂C₆H₂]CMe₂ (VI). VI hardened at 180° gives off 1.5 moles H₂O, apparently with formation of CH₂ bridges, since at even higher temps. no CH₂O is cleaved off. Since only a part of the resulting resin is soluble in concentrated H₂SO₄, considerable spacial cross-linking has apparently occurred. The condensation of III with 3 moles CH₂O at 100° in 10 min. or at room temperature in 48 hrs. gave C₁₈H₂₂O₅, apparently 4,3-HO(HOCH₂)C₆H₃[2,3,5-HO(HOCH₂)₂C₆H₂] CMe₂ (VII), which was not soluble in Et₂O and had to be taken up in EtOAc during the processing of the reaction mixture. VII is not attacked by strong mineral acids at room temperature, but is charred by concentrated H₂SO₄, in contrast to V and VI.

Heat

treatment 1 hr. at 170° removes 0.5 mole H₂O/mole VII to give a product which is still soluble in EtOH, Me₂CO, and EtOAc and seems to be a dimeric product containing a CH₂ bridge. At 180° VII loses 2 moles H₂O to give, with extensive cross-linking, a product which is very resistant toward solvents and a number of chemicals but cleaves off considerable amounts of CH₂O at higher temps. Since the condensation product from 1 mole II and 3 moles CH₂O shows only small changes at 170° and eliminates only 1 mole H₂O/mole resin at 180°, it is assumed to be p-HOC₆H₄[2,3,5-(HOCH₂)₃C₆H₂]CMe₂ (VIII). The hardened resin obtained at 180° from VIII cleaves off, on prolonged heating or at higher temps., considerable amounts. of CH₂O, which suggests the formation of benzyl ether bridges even in the primary cross-linking. At 100° 1 mole III and 4 moles CH₂O gave a compound C₁₉H₂₄O₆, assumed to be [4,3,5-HO(HOCH₂)C₆H₃]₂CMe₂ (IX). At 170° IX gives off exactly 1 mole H₂O to yield a product which is still partially soluble in Me₂CO, EtOH, and EtOAc; the solubility of the product indicates that the primary cross-linking occurred through benzyl ether bridges; however, further cross-linking seems also to take place to some extent, since the product contains also some material which is no longer soluble in organic solvents.

The

hardening of IX proceeded at 180° with the elimination of 2 moles H₂O/mole IX to give a product with CH₂ and benzyl ether bridges. In contrast to the other condensation reactions, the condensation of 1 mole II with 4 moles CH₂O at 100° required for its completion 1 hr. to give a product which was not soluble in Et₂O or EtOAc and soluble only in BuOH. In the processing of the reaction mixture with BuOH about 15% BuOH becomes so strongly bound by the product that it cannot be distilled off, even in vacuo at temps. at which further reaction does not yet occur; the resulting product C₁₉H₂₆O₆ appears to contain all 4 CH₂OH groups in 1 benzene nucleus and is postulated to be p-HOC₆H₄[(HOCH₂)₄C₆H]CMe₂ (X). X is the only monomeric product of this series which is hardened by acids at room temperature. The solubility of the monomeric products in a series of organic solvents, and the effect of various reagents on the monomers and the hardened (cross-linked) products is tabulated qualitatively.

AN 1954:60364 CAPLUS

DN 48:60364
 OREF 48:10687f-i,10688a-i,10689a
 TI Bis(hydroxyaryl)dialkyl methanes. II. The reactions of diphenylopropane with formaldehyde to resinous compounds
 AU Leibnitz, E.; Hager, W.
 CS Univ. Leipzig, East-Ger.
 SO Chemische Technik (Leipzig, Germany) (1953), 5, 343-9
 CODEN: CHTEAA; ISSN: 0045-6519
 DT Journal
 LA Unavailable

L6 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

GI For diagram(s), see printed CA Issue.

AB A comprehensive review of previous researches on the constitution of these dyes includes over 200 refs. A study was made of monoazo dyes of the p-sulfoaryl-p'-hydroxyazoaryl type. By condensing 4-HO₃SC₆H₄NMeNH₂ (I) with 1,4-naphthoquinone and its 2-Me homolog, the authors prepared the corresponding (so-called) "N-methyl-p-hydroxyazo" dyes. These derivs. were compared with each other and with the corresponding O-Me isomers and the nonalkylated dyes, both chemical and with respect their dyeing characteristics. The mechanism of acid hydrolysis was studied in the case of p-hydroxy azo dyes, and of various aminoazo dyes as well as derivs. of hydroxy azo dyes. On the basis of these studies, conclusions are drawn regarding the structure and fission of these compds. I was prepared by the following series of reactions: PhNHMe → PhNMe(NO) (95% yield) → PhNMeNH₂ (70% yield) → I (90% yield), colorless leaflets (from 2 N HCl) (Cf. Organic Syntheses, Collective Volume II, p. 418, 460(1944); C.A. 37, 3449.8). The position of the SO₃H in I was shown by oxidation to 4-HO₃SC₆H₄NHMe, which was identified as the benzylthiuronium salt. The benzylthiuronium salt of I, C₁₅H₂₀O₃N₄S₂, leaflets, m. 178.5-9.0° (from alc.); Na salt of I, prismatic needles or plates (from H₂O). I could also be prepared as follows: (PhNHMe)₂.H₂SO₄ was heated for 8-10 h. at 200° in an oil bath kept in a vacuum oven at 15 mm., thus yielding 4-HO₃SC₆H₄NHMe (II) (purified through its Na salt), leaflets, m. 244-5° (decomposition) (from 2 N HCl); benzylthiuronium salt m. 152-3.5°. Nitrosation converted II quant. into NaO₃SC₆H₄N(NO)Me (III), leaflets (from 80% alc.), 0.25 mol. of which was stirred with 200 g. Zn dust and 200 cc. H₂O at 25°, and then treated very gradually with 85 cc. glacial AcOH. The mixture was allowed to stand 0.5 h. at 45° and then overnight at 0°, filtered, washed with 5% AcOH, and recrystd. from H₂O and 2 N HCl; yield, 87%. Another method for preparing I involved the interaction of 1 mol. Na sulfanilate in 400 cc. hot H₂O with 400 cc. concentrated aqueous NaOH and 120

g. Zn,
 to which was very gradually added at 90° 100 cc. 40% HCHO solution followed by the addition of 40 g. Zn and another 60 cc. of HCHO solution. The mixture was stirred at 90° for another hr., filtered, the residue washed with 200 cc. boiling H₂O, and the combined filtrate and washings treated with CO₂ until thiazole paper was no longer reddened but the solution was still alkaline to phenolphthalein. The suspension, containing ZnCO₃, was digested at 80° for 3 h., filtered, the filtrate cautiously neutralized with HCl, and saturated with NaCl; this yielded the crude Na salt of II, which was converted into III and subsequently into I as outlined above. The properties of I prepared by any of the 3 methods showed no variations. Freshly precipitated 1,4-nitrosophenol (cf. Blangey, C.A. 33, 1713.5) (17.3 g.) while still moist was treated with 100 cc. concentrated HCl and reduced by the gradual addition of Zn dust at 30-35°. The mixture was filtered hot and 1 g. SnCl₂ added to the filtrate followed by the addition of 120 cc. concentrated HCl. After standing several days at 0°